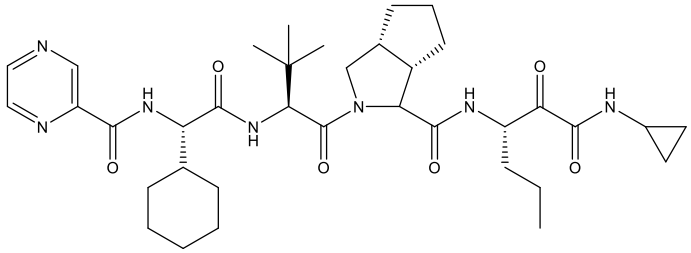
Catalog # 10-4929
Telaprevir

CAS# 402957-28-2

(3*S*,3*aS*,6*aR*)-2-[(2*S*)-2-[[(2*S*)-2-cyclohexyl-2-(pyrazine-2-carbonylamino)acetyl]amino]-3,3-dimethylbutanoyl]-*N*-[(3*S*)-1-(cyclopropylamino)-1,2-dioxohexan-3-yl]-3,3*a*,4,5,6,6*a*-hexahydro-1*H*-cyclopenta[c]pyrrole-3-carboxamide: VX-950

Lot # FBS2211



Telaprevir is a Hepatitis C NS3/4A protease inhibitor that is in clinical use for genotype 1 infections (IC_{50} = 354 nM genotype 1b replicon cells; IC_{50} = 280 nM human fetal hepatocytes infected with genotype 1a HCV-positive patient sera).[1-3] It has been shown to inhibit SARS-CoV2 proteases MPro (IC_{50} = 15 µM)[4] and 3CLPro (IC_{50} = 56 µM)[5]. Telaprevir is also an allosteric inhibitor of DnaK, the bacterial homolog of Hsp70. It enhanced the efficacy of aminoglycoside antibiotics and reduced resistance to the tuberculosis drug rifampin.[6]

1) Lin *et al.* (2006), *Discovery and development of VX-950, a novel, covalent, and reversible inhibitor of hepatitis C virus NS3.4A serine protease*; Infect. Disord. Drug Targets, **6** 3
2) Perni *et al.* (2006), *Preclinical profile of VX-950, a potent, selective, and orally bioavailable inhibitor of hepatitis C virus NS3-4A serine protease*; Antimicrob. Agents Chemother., **50** 899
3) Lin *et al.* (2006), *VX-950, a novel hepatitis C virus (HCV) NS3-4A protease inhibitor, exhibits potent antiviral activities in HCV replicon cells*; Antimicrob. Agents Chemother., **50** 1813
4) Baker *et al.* (2021), *A drug repurposing screen identifies hepatitis C antivirals as inhibitors of the SARS-CoV2 main protease*; PLoS One, **16** e0245962
5) Oerlemans *et al.* (2021), *Repurposing the HCV NS3-4A protease drug boceprevir as COVID-19 therapeutics*; RSC Med. Chem., **12** 370
6) Hosfelt *et al.* (2022), *An allosteric inhibitor of bacterial Hsp70 chaperone potentiates antibiotics and mitigates resistance*; Cell Chem. Biol., **29** 854

PHYSICAL DATA

Molecular Weight:	679.86
Molecular Formula:	$C_{36}H_{53}N_7O_6$
Purity:	98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (25 mg/mL)
Physical Description:	White solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 1 year from the date of purchase.
	Solutions in DMSO may be stored at -20°C for up to 3 months.

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